Elacestrant dihydrochloride

Cat. No.: HY-19822A

CAS No.: 1349723-93-8

Molecular Formula: $C_{30}H_{40}Cl_2N_2O_2$

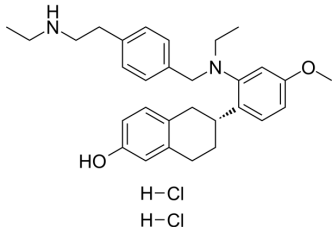
Molecular Weight: 531.56

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (188.13 mM; Need ultrasonic)

H_2O : 50 mg/mL (94.06 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8813 mL	9.4063 mL	18.8126 mL
5 mM	0.3763 mL	1.8813 mL	3.7625 mL
10 mM	0.1881 mL	0.9406 mL	1.8813 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.87 mg/mL (5.40 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.87 mg/mL (5.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
6. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.57 mg/mL (1.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elacestrant (RAD1901) dihydrochloride is an orally available and selective estrogen receptor degrader (SERD) with IC_{50}s of 48

	and 870 nM for ERα and ERβ, respectively. Elacestrant dihydrochloride also can inhibit growth of ER^+ breast cancer cell lines in vitro and in vivo[1][2].
IC_{50} & Target	IC50: 48 nM (ERα), 870 nM (ERβ)[1]
In Vitro	Elacestrant dihydrochloride (RAD1901; 0.5 nM-10 μM; 48 h) exhibits dose-dependent inhibition of ERα expression, with a EC_{50} of 0.6 nM in MCF-7 cells[1]. Elacestrant dihydrochloride (0-1 μM; 48 h) inhibits proliferation of Estradiol (E2)-stimulated MCF-7 cells in a dose-dependent manner, with an EC_{50} of 4 pM[1]. Elacestrant dihydrochloride (0-1 μM; 24 or 48 h) results in a dose-dependent and marked decrease in estrogen receptor protein expression in MCF7, T47D, and HCC1428 cells[2]. Elacestrant dihydrochloride (0.01, 0.1, 1.0 μM) decreases expression of progesterone receptor (PGR, PR; an ER target gene), in both MCF7 and T47D cell lines[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	ER-positive MCF-7 cells (Estradiol (E2)-stimulated)
Concentration:	0-1 μM
Incubation Time:	48 h
Result:	Showed antiproliferative activity on cells.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.5 nM-10 μM
Incubation Time:	48 h
Result:	Inhibited ERα expression (EC_{50} of 0.6 nM) in a dose-dependent manner.

Western Blot Analysis[2]

Cell Line:	MCF7, T47D, and HCC1428 cells
Concentration:	0-1 μM
Incubation Time:	24 or 48 h
Result:	Decreased the expression of estrogen receptor protein.

In Vivo	Elacestrant dihydrochloride (0.3-120 mg/kg; p.o.; single daily for 40 days) antagonizes E2-mediated uterine stimulation in a dose-dependent manner in vivo[1]. Elacestrant dihydrochloride (30, 60 mg/kg; p.o.; single daily for 4 weeks) induces complete tumor growth inhibition in mice [2]. Tumor growth inhibition is maintained for 4 weeks after Elacestrant dihydrochloride withdrawal[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MCF7 cell line xenograft model of mice[2].
Dosage:	30, 60 mg/kg
Administration:	Oral administration; single daily for 4 weeks.

Result:	Inhibited growth of tumor.

CUSTOMER VALIDATION

- J Med Chem. 2020 Oct 8;63(19):11085-11099.
- NPJ Breast Cancer. 2022 Dec 14;8(1):130.
- Mol Cancer Ther. 2020 Jul;19(7):1395-1405.
- J Cell Mol Med. 2023 Aug 18.
- bioRxiv. 2023 Nov 2.

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REFERENCES

[1]. Bihani T, et al. Elacestrant (RAD1901), a Selective Estrogen Receptor Degrader (SERD), Has Antitumor Activity in Multiple ER+ Breast Cancer Patient-derived Xenograft Models. Clin Cancer Res. 2017 Aug 15;23(16):4793-4804.

[2]. Garner F, et al. RAD1901: a novel, orally bioavailable selective estrogen receptor degrader that demonstrates antitumor activity in breast cancer xenograft models. Anticancer Drugs. 2015 Oct;26(9):948-56.

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